

# PATENT COOPERATION TREATY

# PCT

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT (PCT Article 36 and Rule 70)

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Applicant's or agent's file reference 345109D20553	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/IB 03/03685	International filing date (day/month/year) 31.07.2003	Priority date (day/month/year) 02.08.2002
International Patent Classification (IPC) or both national classification and IPC C07D417/04		
Applicant AB SCIENCE et al		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
 

☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of    sheets.

3. This report contains indications relating to the following items:
 

I    ☒ Basis of the opinion

II   ☐ Priority

III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability


IV   ☐ Lack of unity of invention

V    ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

VI   ☐ Certain documents cited

VII ☐ Certain defects in the international application

VIII ☐ Certain observations on the international application

Date of submission of the demand  25.02.2004	Date of completion of this report  09.08.2004
Name and mailing address of the International preliminary examining authority:   European Patent Office - P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk - Pays Bas Tel. +31 70 340 - 2040 Tx: 31 651 epo nl Fax: +31 70 340 - 3016	Authorized Officer  Allard, M  Telephone No. +31 70 340-2002



**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. **PCT/B 03/03685**

**I. Basis of the report**

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

**Description, Pages**

1-101 as originally filed

**Claims, Numbers**

1-28 as originally filed

**Drawings, Sheets**

1/2, 2/2 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).  
☐ the language of publication of the international application (under Rule 48.3(b)).  
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.  
☐ filed together with the international application in computer readable form.  
☐ furnished subsequently to this Authority in written form.  
☐ furnished subsequently to this Authority in computer readable form.  
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.  
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:  
☐ the claims, Nos.:  
☐ the drawings, sheets:

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5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

**1. Statement**

Novelty (N)	Yes: Claims	2-7,9-18
	No: Claims	1, 8, 19-28
Inventive step (IS)	Yes: Claims	2-7, 9-15, 17, 18
	No: Claims	1, 8, 16, 19-28
Industrial applicability (IA)	Yes: Claims	1-28
	No: Claims	-

**2. Citations and explanations**

**see separate sheet**

**INTERNATIONAL PRELIMINARY  
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International application No. PCT/IB 03/03685

**Reference is made to the following documents:**

- D1: WO 00 33842 A (SMITHKLINE BEECHAM CORPORATION) 15 June 2000  
(2000-06-15)  
D2: WO 00 75120 A (AGOURON PHARMACEUTICALS, INC.) 14 December 2000  
(2000-12-14)  
D3: US-A-3 192 225 (SPIVACK J D ET AL) 29 June 1965 (1965-06-29)

**Re Item V**

**Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

**Novelty (Article 33(2) PCT)**

The subject-matter of claims 1 and 19-28 lacks novelty in the light of the disclosure of D1, see page 5, scheme 2, and claims 5-7.

The subject-matter of claims 1 and 19-28 lacks novelty in the light of the disclosure of D2, see page 68, example A(48), and claims 10-13.

The subject-matter of claims 1, 8 and 19-28 lacks novelty in the light of the disclosure of D3, see examples 13 and 19, and column 3, lines 9-11.

**Inventive step (Article 33(3) PCT)**

The subject-matter of claims 1, 8 and 19-28 lacking novelty, does not offer a basis for acknowledging an inventive step.

Concerning novel subject-matter of the present application, following is noted:

D1 discloses bis-thiazole derivatives useful as tyrosine/threonine kinase inhibitors (note that the attachment points of the -N-A- linker to the thiazole moieties in formula (I) of D1 are obviously incorrect).

D2 discloses 4-amino-thiazoles useful as tyrosine/threonine kinase inhibitors.

In the light of the teachings of D1 and D2 the problem underlying and solved by the

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present application is seen in the provision of further thiazole derivatives with the same biological activity.

The compounds proposed in claims 1 and 16 of the present application to solve this problem, insofar  $R^1$  is a (substituted) thiazolyl, are considered as obvious alternatives to the compounds disclosed in D1.

The compounds proposed in claims 1 and 16 of the present application to solve this problem, insofar  $R^6$  is  $NH_2$  and  $R^7$  is a (substituted) heteroaryl, are considered as obvious alternatives to the compounds disclosed in D2.

The novel subject-matter of claims 1 and 19-28, and the subject-matter of claim 16 lack therefore an inventive step insofar  $R^1$ ,  $R^6$  and/or  $R^7$  are as indicated above.

The novel subject-matter of claims 1, 16 and 19-28, insofar  $R^1$ ,  $R^6$  and/or  $R^7$  are not as indicated above, the novel subject-matter of claim 8, and the subject-matter of claims 2-7, 9-15, 17 and 18 involves an inventive step for providing further tyrosine/threonine kinase inhibitors not suggested by the teachings of the available prior art.

Industrial applicability (Article 33(4) PCT)

The compounds, compositions and uses of claims 1-28 can be applied in the pharmaceutical industry.